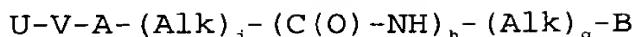


WHAT IS CLAIMED IS:

1. A compound of the formula



5 or a pharmaceutically acceptable salt thereof, wherein
g, h and j are each independently 0 or 1; provided when
h is 0, then g is 0;

envelope A2
each Alk is independently a alkyl radical;

10 U represents amidino, guanidino, $-(G\text{-alkyl})_k\text{-NH-R}_1$, $-(G\text{-alkyl})_k\text{-NH-C}(Q)\text{-R}_1$, $-(G\text{-alkyl})_k\text{-NH-C}(Q)\text{-N(R)-R}_1$, $-(G\text{-alkyl})_k\text{-NH-C}(Q)\text{-O-R}_1$ or $-(G\text{-alkyl})_k\text{-O-C}(Q)\text{-N(R)-R}_1$ radical; or U represents a
15 hydroxyalkyl-G- radical which is optionally substituted by a cycloalkyl, aryl, heteroaryl or heterocyclyl,
wherein the cycloalkyl, aryl, heteroaryl and
heterocyclyl radicals are optionally substituted by 1-3
radicals of R₂;

20 wherein k is 0 or 1;

G represents a bond, O, S or NH;

25 Q represents O, S, NH, N-CN or N-alkyl;

R is a radical of hydrogen or alkyl;

30 R₁ is a radical of alkyl, haloalkyl, R₂₁R₂₂N-alkyl, R₂₁O-alkyl, R₂₁S-alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂;

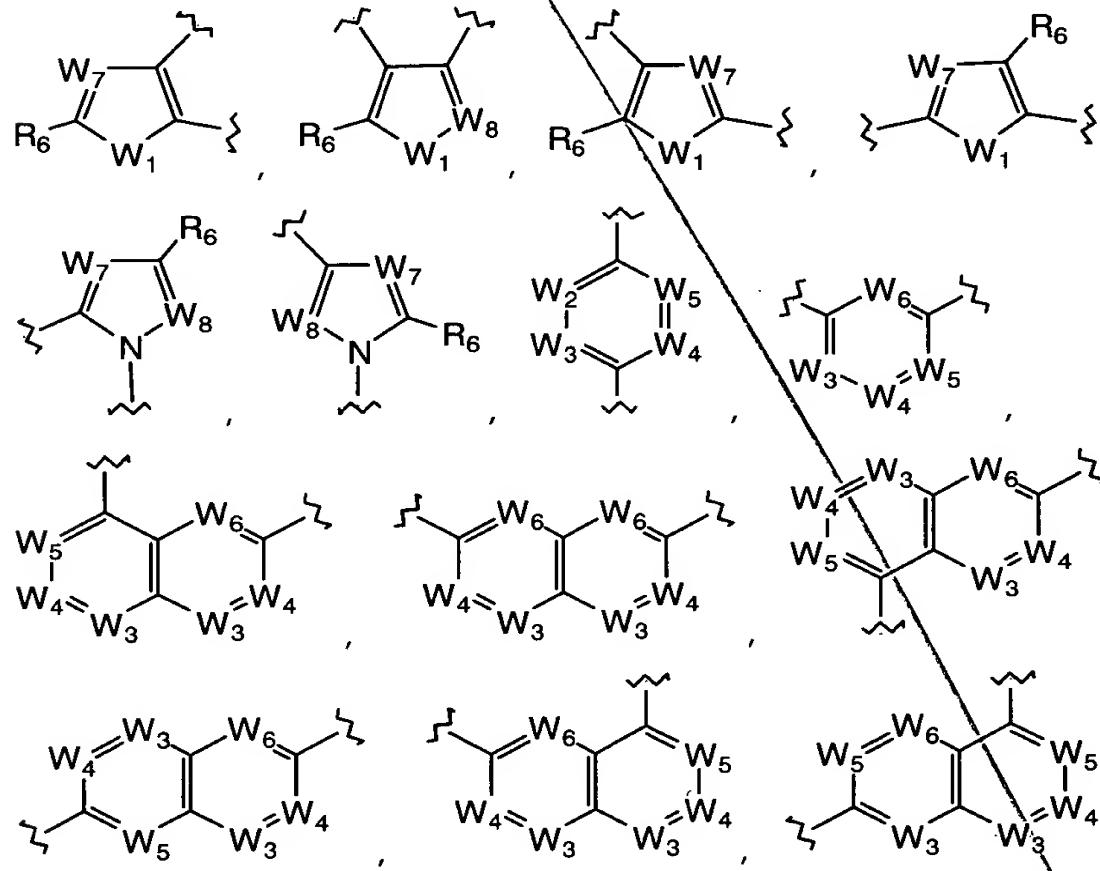
Q²
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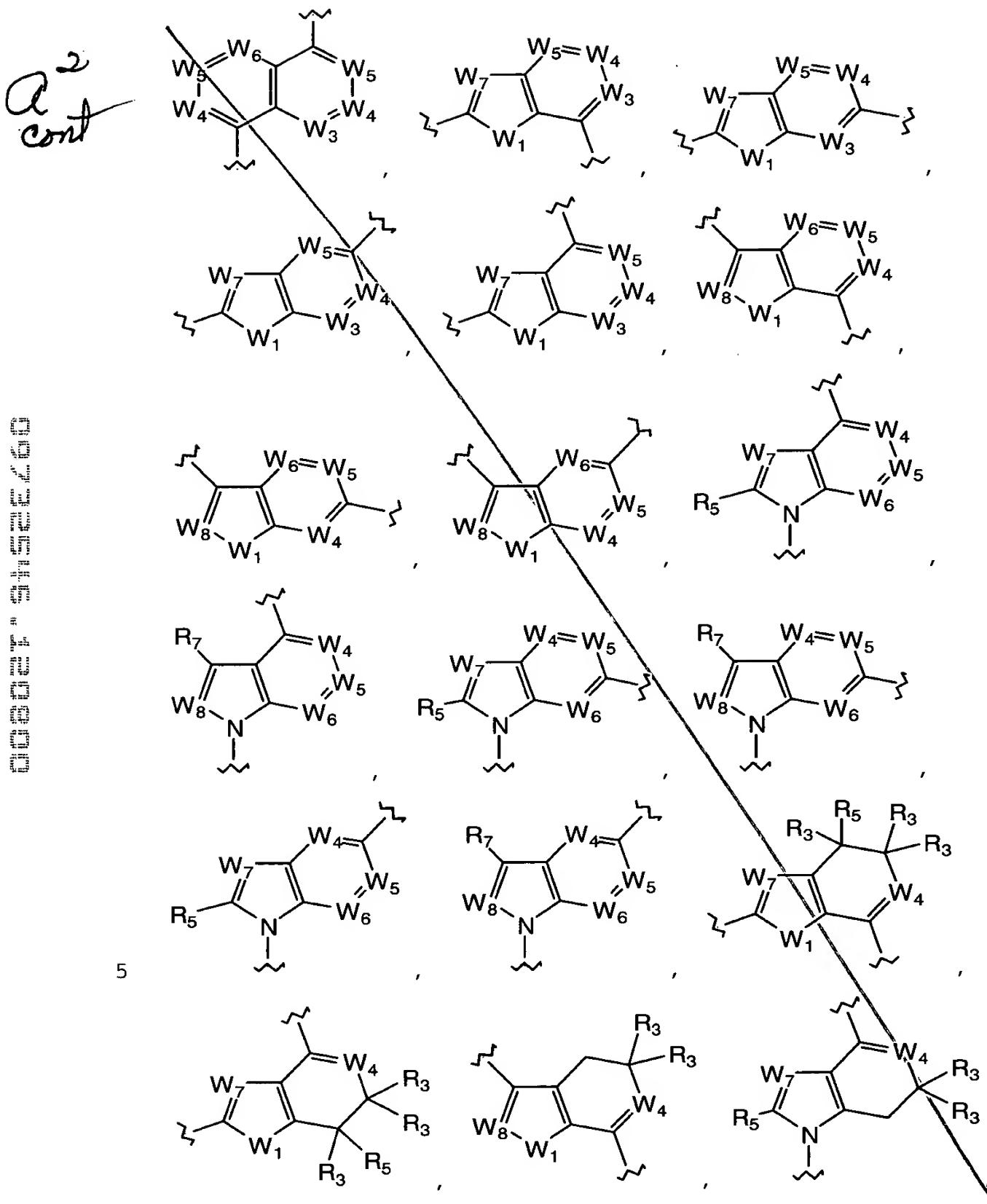
wherein R₂₁ and R₂₂ are each independently a radical of hydrogen, alkyl, haloalkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂;

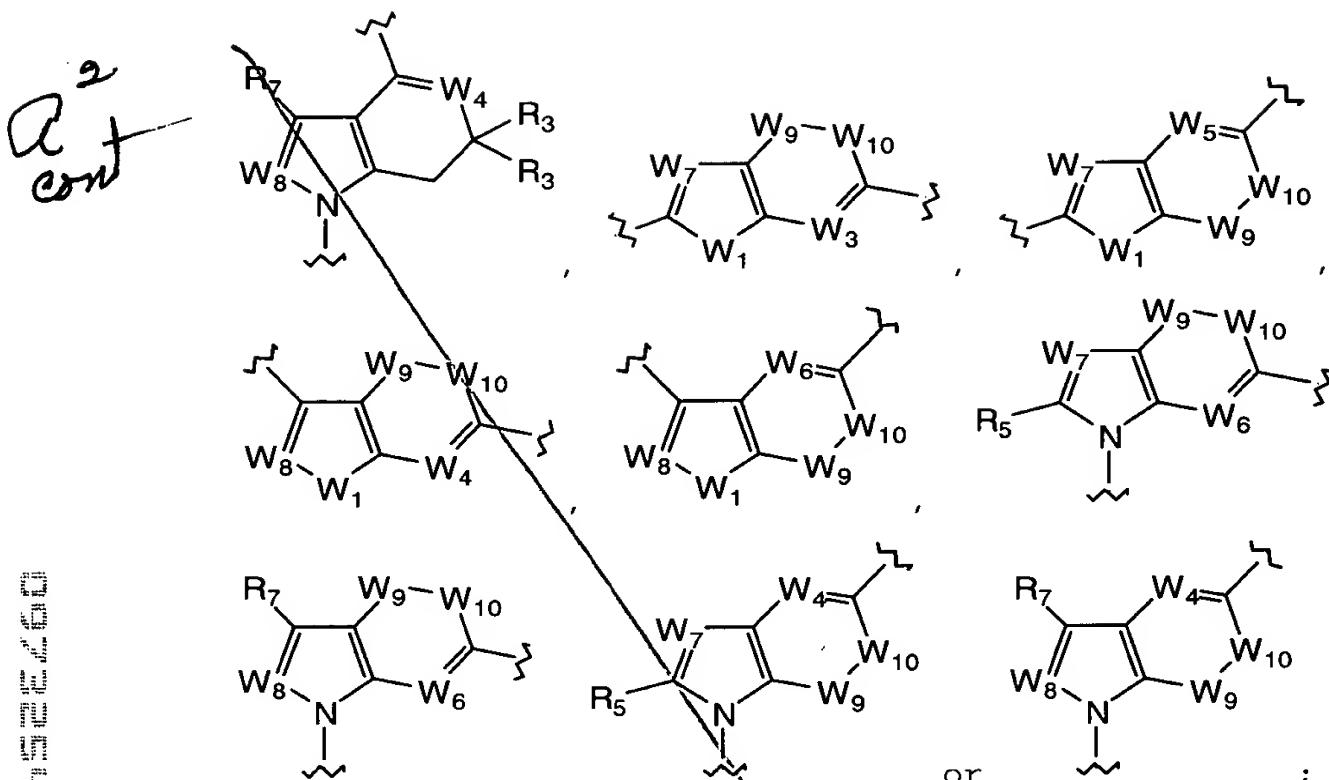
each R₂ is independently a halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, alkylamino or dialkylamino radical or two adjacent R₂ radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

15

V represents a radical of formula





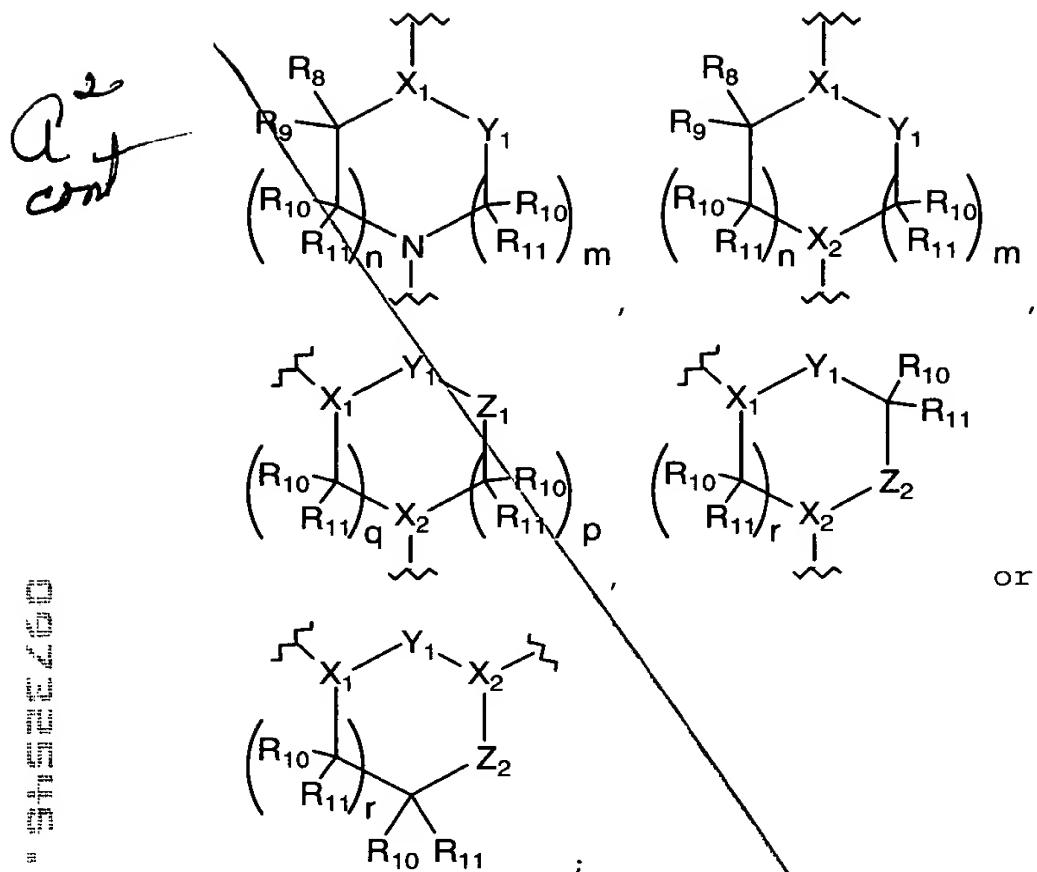


- 5 wherein W_1 is O, S or N-R₃; wherein each R₃ is independently a hydrogen or alkyl radical; W₂ is N or C-R₇; W₈ is N or C-R₅;
- 10 W₉ is C(R₃)₂ and W₁₀ is W₁; or W₉ is CR₃R₅ and W₁₀ is C(R₃)₂;
- 15 each W₂, W₃, W₄ and W₅ are independently N or C-R₄; provided the total number of cycloalkyl, aryl, heteroaryl, heterocyclyl, carboxy, -C(O)-O-R₁₉, -C(O)-R₁₉, -C(O)-NH-R₁₉, -C(O)-N(R₁₉)₂ and -R₁₉ radicals in W₂, W₃, W₄ and W₅ is 0-2;
- each W₆ is independently N or C-H; provided that not more than two of W₂, W₃, W₄, W₅ and W₆ represent N; and
- 20 each R₄ is independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy,

- A²*
cont
- cyano, carboxy, $-C(O)-O-R_{19}$, $-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-C(O)-N(R_{19})_2$, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl radical, wherein the cycloalkyl,
- 5 aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ; or two adjacent R_2 radicals taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 5-6 ring members, wherein
- 10 the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 ;
- R_5 , R_6 and R_7 are each independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy,
- 15 hydroxy or cyano radical; or R_5 and R_6 or R_6 and R_7 , taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of
- 20 R_2 ; or R_5 and R_6 taken together with the carbon atoms to which they are attached represent a fused-heteroaryl of 6 ring members optionally substituted by 1-3 radicals of R_2 ;
- 25 A represents a radical of formula

A-648

174



5 wherein X₁ is N or C-H;

X₂ is C-H, C-alkyl, a spirocycloalkyl or spiroheterocyclyl radical; wherein the spirocycloalkyl and spiroheterocyclyl radicals are optionally

10 substituted by an oxo or thioxo radical and 1-2 radicals of alkyl, haloalkyl, hydroxy, alkoxy or haloalkoxy;

Y₁ is -C(O)-, -C(S)-, -S(O)- or -S(O)₂-;

15

Z₁ is O or N-R₁₂;

Z₂ is O, S or N-R₁₂;

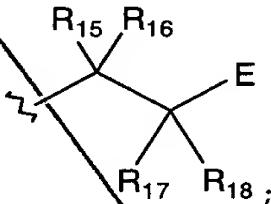
A²
cont
n and m are each independently 0, 1 or 2, provided n + m = 1, 2, 3 or 4;

5 p and q are each independently 0, 1 or 2, provided p + q = 1, 2 or 3;

r is 1 or 2;

10 R₈, R₉, R₁₀, R₁₁ and R₁₂ are each independently a hydrogen or alkyl radical; or -CR₈R₉- represents a -C(O)-;

B represents a radical of formula



wherein (a) R₁₅ is a hydrogen or alkyl radical; and R₁₇ is (1) an aryl, heteroaryl, -NH-C(O)-R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉, -O-C(O)-NH-R₁₉, -NH-C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉, -S(O)₂-NH-R₁₉, or -NH-S(O)₂-NH-R₁₉ radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, -NH-C(O)-R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉, -O-C(O)-NH-R₁₉, -NH-C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉, -S(O)₂-NH-R₁₉, or -NH-S(O)₂-NH-R₁₉; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R₂; or

25 (b) R₁₇ is a hydrogen or alkyl radical; and R₁₅ is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl, -NH-C(O)-R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉, -O-C(O)-NH-R₁₉, -NH-C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉, -S(O)₂-NH-R₁₉, or -NH-S(O)₂-NH-R₁₉ radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl, -NH-C(O)-R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉, -O-C(O)-NH-R₁₉, -NH-C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉, -S(O)₂-NH-R₁₉, or -NH-S(O)₂-NH-R₁₉;

A²
cont

R_{19} , $-\text{NH}-\text{S}(\text{O})_2-\text{R}_{19}$, $-\text{S}(\text{O})_2-\text{NH}-\text{R}_{19}$ or $-\text{NH}-\text{S}(\text{O})_2-\text{NH}-\text{R}_{19}$ radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ;

5

provided that when a nitrogen atom is attached to the carbon atom to which R_{15} is attached, then R_{15} is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl or $-\text{C}(\text{O})-\text{NH}-R_{19}$ radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl, $-\text{NH}-\text{C}(\text{O})-\text{R}_{19}$, $-\text{C}(\text{O})-\text{NH}-R_{19}$, $-\text{NH}-\text{C}(\text{O})-\text{NH}-R_{19}$, $-\text{O}-\text{C}(\text{O})-\text{NH}-R_{19}$, $-\text{NH}-\text{C}(\text{O})-\text{O}-R_{19}$, $-\text{S}(\text{O})_2-\text{R}_{19}$, $-\text{NH}-\text{S}(\text{O})_2-\text{R}_{19}$, $-\text{S}(\text{O})_2-\text{NH}-R_{19}$ or $-\text{NH}-\text{S}(\text{O})_2-\text{NH}-R_{19}$;

10

15 wherein R_{19} is a alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ;

20

20 R_{16} and R_{18} are each independently a hydrogen or alkyl radical; and

25

E is a radical of carboxy, amido, tetrazolyl, $-\text{C}(\text{O})-\text{O}-R_{20}$, $-\text{C}(\text{O})-\text{NH}-R_{20}$, $-\text{C}(\text{O})-\text{NH}-\text{S}(\text{O})-\text{R}_{20}$, $-\text{C}(\text{O})-\text{NH}-\text{S}(\text{O})_2-\text{R}_{20}$ or $-\text{C}(\text{O})-\text{NH}-\text{C}(\text{O})-\text{R}_{20}$;

30

wherein R_{20} is an alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl radical or an alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ; and

35

P2
cont

provided that when U represents amidino, guanidino, -C(Q)-NH-R₁ or -NH-C(Q)-NH-R₁ radical, wherein Q represents NH, N-CN or N-alkyl, then at least one of g, h or j is 1.

5

2. The compound of Claim 1 or a pharmaceutically acceptable salt thereof, wherein

10 each Alk is independently a C₁-C₁₂ alkyl radical;

Sub B2
U represents amidino, guanidino, -(G-(C₁-C₈ alkyl))_k-NH-R₁, -(G-(C₁-C₈ alkyl))_k-NH-C(Q)-R₁, -(G-(C₁-C₈ alkyl))_k-C(Q)-N(R)-R₁, -(G-(C₁-C₈ alkyl))_k-NH-C(Q)-N(R)-R₁, -(G-

15 (C₁-C₈ alkyl))_k-NH-C(Q)-O-R₁ or -(G-(C₁-C₈ alkyl))_k-O-C(Q)-N(R)-R₁ radical; or U represents a hydroxy(C₁-C₁₂ alkyl)-G- radical which is optionally substituted by a C₁-C₈ cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the

20 cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂;

Q represents O, S, NH, N-CN or N-(C₁-C₈ alkyl);

25 R is a radical of hydrogen or C₁-C₈ alkyl;

R₁ is a radical of C₁-C₈ alkyl, halo(C₁-C₈ alkyl) of 1-7 halo radicals, R₂₁R₂₂N-(C₁-C₈ alkyl), R₂₁O-(C₁-C₈ alkyl), R₂₁S-(C₁-C₈ alkyl), C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl(C₁-C₈ alkyl), aryl, aryl(C₁-C₈ alkyl), heteroaryl of 5-10 ring members, heteroaryl(C₁-C₈ alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C₁-C₈ alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are 30 35 optionally substituted by 1-3 radicals of R₂;

wherein R_{21} and R_{22} are each independently a radical of hydrogen, C_1-C_8 alkyl, halo(C_1-C_8 alkyl) of 1-7 halo radicals, C_3-C_8 cycloalkyl, C_3-C_8 cycloalkyl(C_1-C_8 alkyl), aryl, aryl(C_1-C_8 alkyl), heteroaryl of 5-10 ring

- 5 members, heteroaryl(C_1-C_8 alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C_1-C_8 alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ;

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B2
10 each R_2 is independently a halo, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkylthio, halo(C_1-C_4 alkyl) of 1-5 halo radicals, halo(C_1-C_4 alkoxy) of 1-5 halo radicals,

- 15 hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, C_1-C_8 alkylamino or di(C_1-C_8 alkyl)amino radical or two adjacent R_2 radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

- 20 each R_3 is independently a hydrogen or C_1-C_6 alkyl radical;

each R_4 is independently a hydrogen, halo, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 alkylthio, halo(C_1-C_4 alkyl) of 1-5

- 25 halo radicals, halo(C_1-C_4 alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy, $-C(O)-O-R_{19}$, $-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-C(O)-N(R_{19})_2$, C_3-C_6 cycloalkyl, C_3-C_6 cycloalkyl(C_1-C_4 alkyl), aryl, aryl(C_1-C_4 alkyl), heteroaryl of 5-10 ring members, heteroaryl(C_1-C_4 alkyl)

- 30 of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C_1-C_4 alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R_2 ; or two adjacent R_4 radicals taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl

of 5-6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R₂;

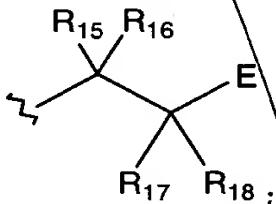
5 R₅, R₆ and R₇ are each independently a hydrogen, halo, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ alkylthio, halo(C₁-C₄ alkyl) of 1-5 halo radicals, halo(C₁-C₄ alkoxy) of 1-5 halo radicals, hydroxy or cyano radical; or R₅ and R₆ or R₆ and R₇ taken together with the carbon atoms to which

10 they are attached represent a fused-phenyl or fused-heteroaryl of 6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R₂; or R₃ and R₆ taken together with the carbon atoms to which they are attached represent a
 15 fused-heteroaryl of 6 ring members optionally substituted by 1-3 radicals of R₂;

X₂ is C-H, C-(C₁-C₄ alkyl), a C₃-C₈ spirocycloalkyl or spiroheterocyclyl of 5-8 ring members radical; wherein the spirocycloalkyl and spiroheterocyclyl radicals are optionally substituted by an oxo or thioxo radical and 1-2 radicals of C₁-C₆ alkyl, halo(C₁-C₄ alkyl) of 1-5 halo radicals, hydroxy, C₁-C₆ alkoxy or halo(C₁-C₄ alkoxy) of 1-5 halo radicals;

25 R₈, R₉, R₁₀, R₁₁ and R₁₂ are each independently a hydrogen or C₁-C₆ alkyl radical; or -CR₈R₉- represents a -C(O)-;

B represents a radical of formula



30 wherein (a) R₁₅ is a hydrogen or C₁-C₆ alkyl radical; and R₁₇ is (1) an aryl, heteroaryl of 5-10 ring members, -

~~NH-C(O)-R₁₉, -C(O)-NH-R₁₉, -NH-C(O)-NH-R₁₉, -O-C(O)-NH-R₁₉, -NH-C(O)-O-R₁₉, -S(O)₂-R₁₉, -NH-S(O)₂-R₁₉, -S(O)₂-NH-R₁₉ or -NH-S(O)₂-NH-R₁₉ radical, or (2) an C₁-C₆ alkyl radical substituted by a radical of aryl, heteroaryl of 5-10~~

ring members, $-\text{NH}-\text{C}(\text{O})-\text{R}_{19}$, $-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$, $-\text{NH}-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$, $-\text{O}-\text{C}(\text{O})-\text{NH}-\text{R}_{19}$, $-\text{NH}-\text{C}(\text{O})-\text{O}-\text{R}_{19}$, $-\text{S}(\text{O})_2-\text{R}_{19}$, $-\text{NH}-\text{S}(\text{O})_2-\text{R}_{19}$, $-\text{S}(\text{O})_2-\text{NN}-\text{R}_{19}$ or $-\text{NH}-\text{S}(\text{O})_2-\text{NH}-\text{R}_{19}$; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R_2 ; or

(b) R_{17} is a hydrogen or C_1-C_6 alkyl radical; and R_{15} is
(1) an aryl, heteroaryl of 5-10 ring members, C_3-C_8
cycloalkyl, heterocyclyl of 5-8 ring members, $-NH-C(O)-$
 R_{19} , $-C(O)-NH-R_{19}$, $-NH-C(O)-NH-R_{19}$, $-O-C(O)-NH-R_{19}$, $-NH-$
 $C(O)-O-R_{19}$, $-S(O)_2-R_{19}$, $-NH-S(O)_2-R_{19}$, $-S(O)_2-NH-R_{19}$ or $-NH-$
 $S(O)_2-NH-R_{19}$ radical, or (2) an C_1-C_4 alkyl radical
substituted by a radical of aryl, heteroaryl of 5-10
ring members, C_3-C_8 cycloalkyl, heterocyclyl of 5-8 ring
members, $-NH-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-NH-C(O)-NH-R_{19}$, $-O-$
 $C(O)-NH-R_{19}$, $-NH-C(O)-O-R_{19}$, $-S(O)_2-R_{19}$, $-NH-S(O)_2-R_{19}$,
 $-S(O)_2-NH-R_{19}$ or $-NH-S(O)_2-NH-R_{19}$ radical; wherein the
cycloalkyl, aryl, heteroaryl and heterocyclyl radicals
are optionally substituted by 1-3 radicals of R_i ;

25 provided that when a nitrogen atom is attached to the carbon atom to which R_{15} is attached, then R_{15} is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl or $-C(O)-NH-R_{19}$, radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl, - $NH-C(O)-R_{19}$, $-C(O)-NH-R_{19}$, $-NH-C(O)-NH-R_{19}$, $-O-C(O)-NH-R_{19}$, $-NH-C(O)-O-R_{19}$, $-S(O)_2-R_{19}$, $-NH-S(O)_2-R_{19}$, $-S(O)_2-NH-R_{19}$ or $-NH-S(O)_2-NH-R_{19}$;

wherein R₁₉ is a C₁-C₆ alkyl, C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl(C₁-C₆ alkyl), aryl, aryl(C₁-C₆ alkyl), heteroaryl of 5-10 ring members, heteroaryl(C₁-C₆ alkyl)

of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C₁-C₆ alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂;

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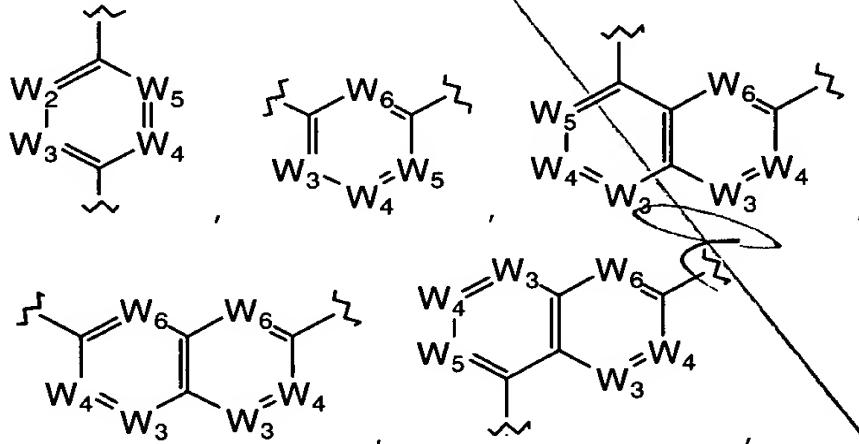
R₁₆ and R₁₈ are each independently a hydrogen or C₁-C₆ alkyl radical; and

- 10 R₂₀ is a C₁-C₆ alkyl, C₃-C₈ cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members radical or a C₁-C₆ alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, C₃-C₈ cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂.

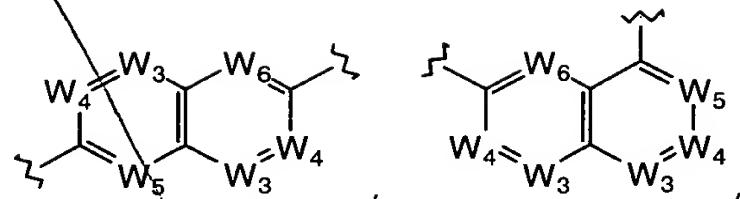
20 3. The compound of Claim 2 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a C₁-C₈ alkyl radical;

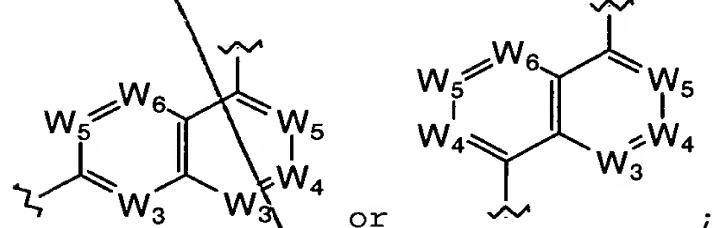
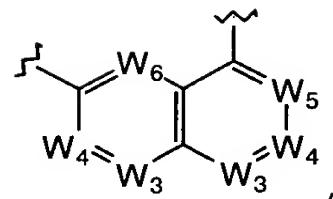
25 V represents a radical of formula



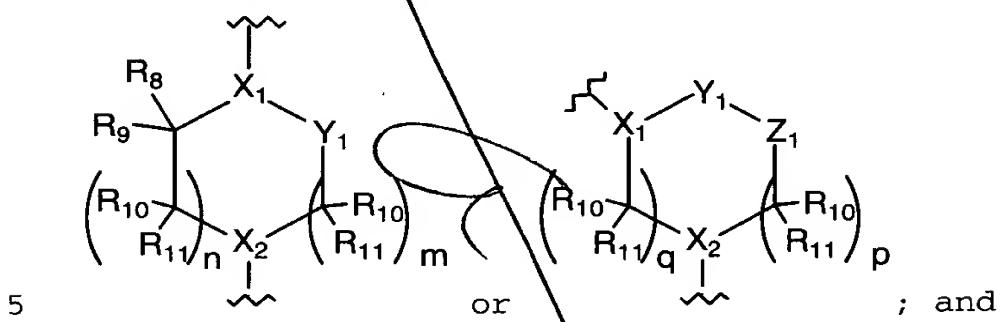
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182



A represents a radical of formula

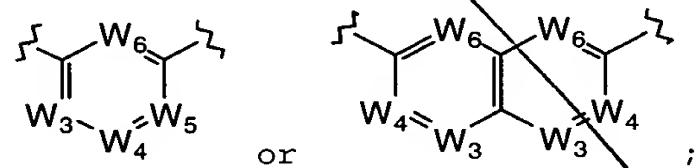


Y₁ is -C(O)- or -C(S)-.

10 4. The compound of Claim 3 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a C₁-C₆ alkyl radical;

15 V represents a radical of formula



X₂ is C-H or C-(methyl) radical;

*part
a3*

~~Y₁ is -C(O)-; and~~

*Q 3
cont*
5 R₈, R₉, R₁₀, R₁₁ and R₁₂ are each independently a hydrogen or methyl radical; or -CR₈R₉- represents a -C(O)-.

10 5. The compound of Claim 4 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a C₁-C₄ alkyl radical;

15 U represents amidino, guanidino, -(G-(C₁-C₈ alkyl))_k-NH-R₁, -(G-(C₁-C₈ alkyl))_k-NH-C(Q)-R₁, -(G-(C₁-C₈ alkyl))_k-C(Q)-N(R)-R₁, -(G-(C₁-C₈ alkyl))_k-NH-C(Q)-N(R)-R₁ or -(G-(C₁-C₈ alkyl))_k-NH-C(Q)-O-R₁ radical;

G represents a bond, O or NH;

20 Q represents O, S, NH, N-CN or N-(C₁-C₄ alkyl);

R is a radical of hydrogen or C₁-C₄ alkyl;

25 R₁ is a radical of C₁-C₆ alkyl, halo(C₁-C₆ alkyl) of 1-5 halo radicals, R₂₁R₂₂N-(C₁-C₆ alkyl), R₂₁O-(C₁-C₆ alkyl), C₃-C₈ cycloalkyl, C₃-C₈ cycloalkyl(C₁-C₆ alkyl), aryl, aryl(C₁-C₆ alkyl), heteroaryl of 5-10 ring members, heteroaryl(C₁-C₆ alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C₁-C₆ alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂;

30 35 R₂₁ and R₂₂ are each independently a radical of hydrogen, C₁-C₈ alkyl, aryl, aryl(C₁-C₄ alkyl), heteroaryl of 5-10 ring members or heteroaryl(C₁-C₄ alkyl) of 5-10 ring

a3

Cont

members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R₂;

- each R₂ is independently a halo, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₁-C₄ alkylthio, halo(C₁-C₂ alkyl) of 1-5 halo radicals, halo(C₁-C₂ alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, C₁-C₄ alkylamino or di(C₁-C₄ alkyl)amino radical or two adjacent R₂ radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

each W₆ is C-H;

- each R₄ is independently a hydrogen, halo, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₁-C₄ alkylthio, halo(C₁-C₂ alkyl) of 1-5 halo radicals, halo(C₁-C₂ alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy, -C(O)-O-R₁₉, -C(O)-R₁₉, -C(O)-NH-R₁₉, -C(O)-N(R₁₉)₂, C₃-C₆ cycloalkyl, C₃-C₆ cycloalkyl(C₁-C₄ alkyl), aryl, aryl(C₁-C₄ alkyl), heteroaryl of 5-10 ring members, heteroaryl(C₁-C₄ alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C₁-C₄ alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂; and

R₂₀ is a C₁-C₄ alkyl, aryl or heteroaryl of 5-10 ring members or a C₁-C₄ alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂.

6. The compound of Claim 5 or a pharmaceutically acceptable salt thereof, wherein

U represents amidino, guanidino, $-(G-(C_1-C_8\text{ alkyl}))_x-NH-$
5 R_1 , $-NH-C(Q)-R_1$, $-(G-(C_1-C_8\text{ alkyl}))_x-C(Q)-N(R)-R_1$, $-NH-$
 $C(Q)-N(R)-R_1$ or $-NH-C(Q)-O-R_1$ radical;

Q represents O or NH;

10 R is a radical of hydrogen or C_1-C_2 alkyl;

R₁ is a radical of C_1-C_6 alkyl, halo(C_1-C_6 alkyl) of 1-5
halo radicals, $R_{21}R_{22}N-(C_1-C_4\text{ alkyl})$, $R_{21}O-(C_1-C_4\text{ alkyl})$,
15 C_3-C_8 cycloalkyl, C_3-C_8 cycloalkyl($C_1-C_4\text{ alkyl}$), aryl,
aryl($C_1-C_4\text{ alkyl}$), heteroaryl of 5-10 ring members,
heteroaryl($C_1-C_4\text{ alkyl}$) of 5-10 ring members,
heterocyclyl of 5-8 ring members or heterocyclyl(C_1-C_4
alkyl) of 5-8 ring members, wherein the cycloalkyl,
aryl, heteroaryl and heterocyclyl radicals are
20 optionally substituted by 1-3 radicals of R₂;

R₂₁ and R₂₂ are each independently a radical of hydrogen,
 C_1-C_6 alkyl, aryl or heteroaryl of 5-10 ring members,
wherein the aryl and heteroaryl radicals are optionally
25 substituted by 1-3 radicals of R₂;

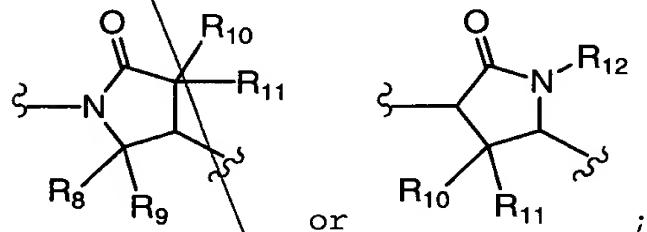
each R₂ is independently a halo, C_1-C_2 alkyl, C_1-C_2
alkoxy, C_1-C_2 alkylthio, CF_3- , CF_3O- , hydroxy, carboxy,
cyano, azido, amidino, guanidino, nitro, amino, C_1-C_2
30 alkylamino or di(C_1-C_2 alkyl)amino radical or two
adjacent R₂ radicals on an aryl or heteroaryl radical
represent a methylenedioxy, ethylenedioxy or
propylenedioxy radical;

35 each W₂, W₃, W₄ and W₅ are independently C-R₄;

each R₄ is independently a hydrogen, halo, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₁-C₄ alkylthio, halo(C₁-C₂ alkyl) of 1-5 halo radicals, halo(C₁-C₂ alkoxy) of 1-5 halo radicals, hydroxy or cyano radical;

5

A represents a radical of formula



- (a) R₁₅ is a hydrogen or C₁-C₂ alkyl radical; and R₁₇ is -NH-C(O)-R₁₉, -NH-C(O)-NH-R₁₉, -NH-C(O)-O-R₁₉, -NH-S(O)₂-R₁₉ or -NH-S(O)₂-NH-R₁₉ radical; or (b) R₁₇ is a hydrogen or C₁-C₂ alkyl radical; and R₁₅ is (1) an aryl, heteroaryl of 5-10 ring members, C₃-C₈ cycloalkyl or heterocyclyl of 5-8 ring members radical, or (2) an C₁-C₂ alkyl radical substituted by a radical of aryl, heteroaryl of 5-10 ring members, C₃-C₈ cycloalkyl or heterocyclyl of 5-8 ring members radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R₂;
- R₁₉ is a C₁-C₄ alkyl, aryl, aryl(C₁-C₄ alkyl), heteroaryl of 5-10 ring members or heteroaryl(C₁-C₄ alkyl) of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R₂;
- R₁₆ and R₁₈ are each independently a hydrogen or C₁-C₄ alkyl radical;
- E is a radical of carboxy, amido, tetrazolyl or -C(O)-O-R₂₀; and

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5 ~~R₂₀ is a C₁-C₂ alkyl, aryl or heteroaryl of 5-10 ring members or a C₁-C₂ alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R₂.~~

7. The compound of Claim 6 or a pharmaceutically acceptable salt thereof, wherein

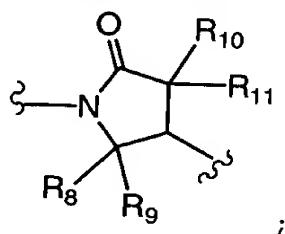
10 Alk is independently a C₁-C₂ alkyl radical;

15 G represents a bond or NH;

20 R₂₁ and R₂₂ are each independently a radical of hydrogen, C₁-C₆ alkyl or aryl, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R₂;

25 each R₄ is independently a hydrogen, halo, C₁-C₂ alkyl, C₁-C₂ alkoxy, C₁-C₂ alkylthio, CF₃-, CF₃O-, hydroxy or cyano radical;

30 A represents a radical of formula



(a) R₁₅ is a hydrogen or C₁-C₂ alkyl radical; and R₁₇ is -NH-C(O)-O-R₁₉, or -NH-S(O)₂-R₁₉ radical; or (b) R₁₇ is a hydrogen or C₁-C₂ alkyl radical; and R₁₅ is (1) an aryl or heteroaryl of 5-10 ring members, or (2) an C₁-C₂

alkyl radical substituted by a radical of aryl or heteroaryl of 5-10 ring members; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R₂;

5

R₁₉ is a C₁-C₄ alkyl, aryl or aryl(C₁-C₄ alkyl), wherein the aryl radicals are optionally substituted by 1-3 radicals of R₂;

10 R₁₆ and R₁₈ are each independently a hydrogen or C₁-C₂ alkyl radical;

E is a radical of carboxy or -C(O)-O-R₂₀; and

15 R₂₀ is a C₁-C₂ alkyl, aryl or aryl(C₁-C₂ alkyl) radical, wherein the aryl radicals are optionally substituted by 1-3 radicals of R₂.

20 8. A pharmaceutical composition comprising a compound according to any of Claims 1 to 7 and a pharmaceutically acceptable carrier.

25 9. A method for the treatment of a disease or disorder modulated by an integrin receptor comprising administering an effective amount of a compound according to any of Claims 1 to 7.

30 10. The method of Claim 9 wherein the integrin receptor is vitronectin receptor α_vβ₃, α_vβ₅ or α_vβ₆.

35 11. A method for the treatment of a disease or disorder modulated by an integrin receptor comprising administering an effective amount of a composition of Claim 8.

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12. The method of Claim 11 wherein the an integrin receptor is vitronectin receptor $\alpha_v\beta_3$, $\alpha_v\beta_5$ or $\alpha_v\beta_6$.

5 13. A method of antagonizing an integrin receptor comprising administering an effective amount of a compound according to any of Claims 1 to 7.

10 14. The method of Claim 13 wherein the an integrin receptor is vitronectin receptor $\alpha_v\beta_3$, $\alpha_v\beta_5$ or $\alpha_v\beta_6$.

15 15. A method of antagonizing an integrin receptor comprising administering an effective amount of a composition of Claim 8.

15 16. The method of Claim 15 wherein the an integrin receptor is vitronectin receptor $\alpha_v\beta_3$, $\alpha_v\beta_5$ or $\alpha_v\beta_6$.

20 17. A method for the treatment of atherosclerosis, restenosis, inflammation, wound healing, cancer, metastasis, bone resorption related diseases, diabetic retinopathy, macular degeneration, angiogenesis or viral infections comprising administering an effective amount of a compound according to any of Claims 1 to 7.

30 18. A method for the treatment of atherosclerosis, restenosis, inflammation, wound healing, cancer, metastasis, bone resorption related diseases, diabetic retinopathy, macular degeneration, angiogenesis or viral infections comprising administering an effective amount of a composition of Claim 8.